

wherein:

L_1 is a bifunctional linking moiety;

D is a moiety that is a leaving group, or a residue of a compound to be delivered into a cell;

Z is covalently linked to $[D]_y$, wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof;

Y_1, Y_2, Y_3 and Y_4 are each independently O, S, or NR_{12} ;

R_{11} is a mono- or divalent polymer residue;

R_1, R_4, R_9, R_{10} and R_{12} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, and substituted C_{1-6} heteroalkyls;

R_2, R_3, R_5 and R_6 are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{1-6} alkoxy, phenoxy, C_{1-8} heteroalkyls, C_{1-8} heteroalkoxy, substituted C_{1-6} alkyls, C_{3-8} cycloalkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro-, cyano-, carboxy-, C_{1-6} carboxyalkyls and C_{1-6} alkylcarbonyls;

Ar is a moiety which when included in Formula (I) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group;

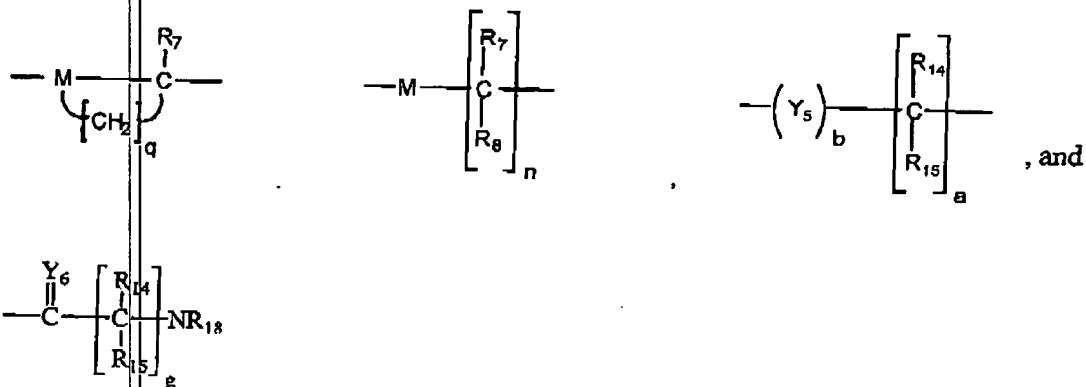
$(m), (r), (s), (t)$, and (u) are independently zero or one;

(p) is zero or a positive integer; and (y) is 1 or 2;

wherein $Z[D]_y$ is capable of crossing the membrane of the target cell and is capable of being hydrolyzed therein to release D .

Please amend claim 2 as follows:

2. (Amended) The compound of claim 1, wherein L₁ is selected from the group consisting of:



whercin;

M is X or Q; where X is an electron withdrawing group;

Q is a moiety containing a free electron pair positioned three to six atoms from $\text{-C-}\overset{\text{Y}_3}{\parallel}$;

- (a) and (n) are independently zero or a positive integer;
(b) is zero or one;
(g) is a positive integer;
(q) is three or four;

R₇, R₈, R₁₄, R₁₅ and R₁₈ are independently selected from the group which defines R₉; and Y₅ and Y₆ are independently O, S, or NR₁₂.

Please amend claim 7 as follows:

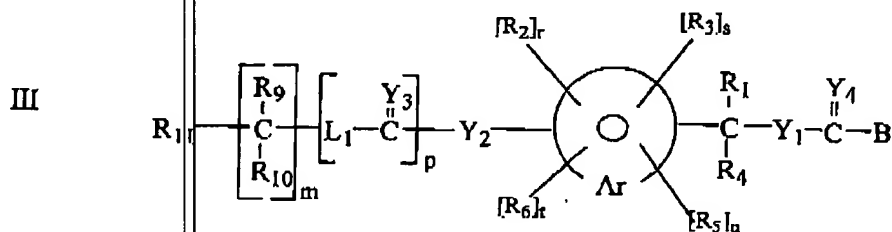
7. (Twice Amended) The compound of claim 6 wherein the peptide is Gly-Phe-Leu-Gly (SEQ ID NO:1) or Gly-Phe-Leu.

Please amend claim 18 as follows:

18. (Amended) The compound of claim 2, wherein X is selected from the group consisting of O and NR₁₂.

Please amend claim 31 as follows:

31. (Twice Amended) A method of preparing a tetrapartate prodrug comprising reacting a compound of formula:



with a compound of formula:



wherein B is a leaving group for Formula III;

L_1 is a bifunctional linking moiety;

D is a moiety that is a leaving group, or a residue of a compound to be delivered into a cell;

Lx is a leaving group for Formula IV;

Z is covalently linked to $[D]_y$, wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof;

R_1 , R_4 , R_9 , R_{10} and R_{12} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, and substituted C_{1-6} heteroalkyls;

R_2 , R_3 , R_5 and R_6 are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{1-6} alkoxy, phenoxy, C_{1-8} heteroalkyls, C_{1-8} heteroalkoxy, substituted C_{1-6} alkyls, C_{3-8} cycloalkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro-, cyano-, carboxy-, C_{1-6} carboxyalkyls and C_{1-6} alkylcarbonyls;

Ar is a moiety which when included in Formula (III) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group;

(m), (r), (s), (t), and (u) are independently zero or one;

(p) is zero or a positive integer;

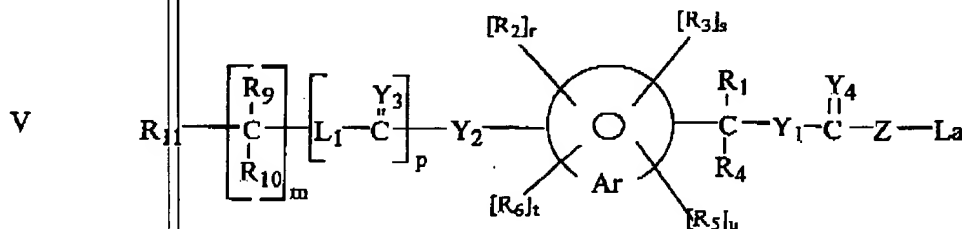
(y) is one or two;

Y_1 , Y_2 , Y_3 and Y_4 are each independently O, S, or NR_{12} ; and

R_{11} is a monovalent or divalent polymer residue.

Please amend claim 32 as follows:

32. (Twice Amended) A method of preparing a tetrapartate prodrug comprising reacting a compound of formula



with at least one biologically active material; wherein

L_1 is a bifunctional linking moiety;

La is a leaving group for Formula V;

Z is covalently linked to La and wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof;

R_1 , R_4 , R_9 , R_{10} and R_{12} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, and substituted C_{1-6} heteroalkyls;

R_2 , R_3 , R_5 and R_6 are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{1-6} alkoxy, phenoxy, C_{1-8} heteroalkyls, C_{1-8} heteroalkoxy, substituted C_{1-6} alkyls, C_{3-8} cycloalkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro-, cyano-, carboxy-, C_{1-6} carboxyalkyls and C_{1-6} alkylcarbonyls;

Ar is a moiety which when included in Formula (V) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group;

(m), (r), (s), (t), and (u) are independently zero or one;

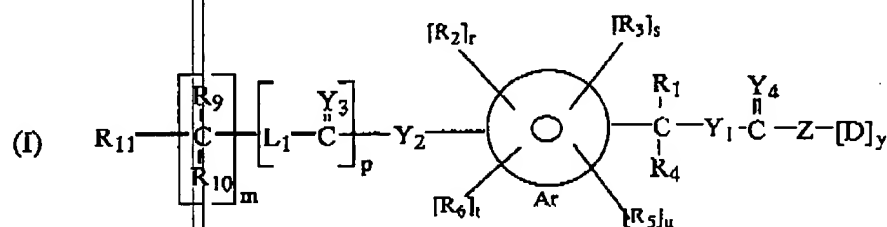
(p) is zero or a positive integer;

Y_1 , Y_2 , Y_3 and Y_4 are independently O, S, or NR_{12} ; and

R_{11} is a monovalent or divalent polymer residue
wherein after the reaction Z is covalently linked to the at least one biologically active material.

Please amend claim 36 as follows:

36. (Amended) A compound of Formula I:



wherein:

L_1 is a bifunctional linking moiety;

each D moiety is independently a residue of an anticancer agent, an anticancer prodrug, a detectable tag, or combinations thereof;

Z is covalently linked to $[D]_y$, wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof;

Y_1 , Y_2 , Y_3 and Y_4 are each independently O, S, or NR_{12} ;

R_{11} is a mono- or divalent polymer residue;

R_1 , R_4 , R_9 , R_{10} and R_{12} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, and substituted C_{1-6} heteroalkyls;

R_2 , R_3 , R_5 and R_6 are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{1-6} alkoxy, phenoxy, C_{1-8} heteroalkyls, C_{1-8} heteroalkoxy, substituted C_{1-6} alkyls, C_{3-8} cycloalkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro-, cyano-, carboxy-, C_{1-6} carboxyalkyls and C_{1-6} alkylcarbonyls;

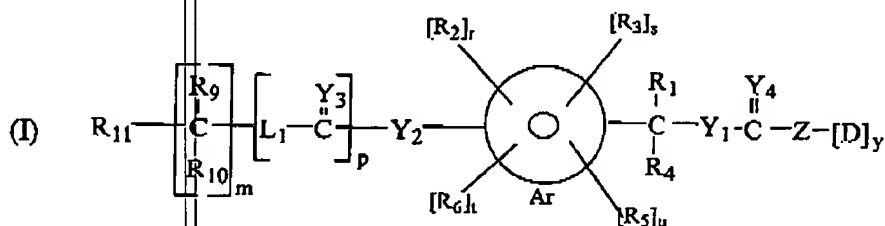
Ar is a moiety which when included in Formula (I) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group;

(m), (r), (s), (t), and (u) are independently zero or one; and

(p) is zero or a positive integer; and (y) is 1 or 2.

Please amend claim 37 as follows:

37. (Amended) A compound of Formula I:



wherein:

L_1 is a bifunctional linking moiety;

D is a moiety that is a leaving group, or a residue of a compound to be delivered into a cell;

Z is covalently linked to $[D]_y$, wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof;

Y_1 , Y_2 , Y_3 and Y_4 are each independently O, S, or NR_{12} ;

R_{11} is a mono- or divalent polymer residue;

R_1 , R_4 , R_9 , R_{10} and R_{12} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls, and substituted C_{1-6} heteroalkyls;

R_2 , R_3 , R_5 and R_6 are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{1-6} alkoxy, phenoxy, C_{1-8} heteroalkyls, C_{1-8} heteroalkoxy, substituted C_{1-6} alkyls, C_{3-8} cycloalkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro-, cyano-, carboxy-, C_{1-6} carboxyalkyls and C_{1-6} alkylcarbonyls;

Ar is a moiety which when included in Formula (I) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group;

(m), (r), (s), (t), and (u) are independently zero or one; and

(p) is zero or a positive integer; and (y) is 1 or 2.